

Figure 1

In vitro characterization of the radiotracer [^{131}I]MTO

1. [^{131}I]MTO binds fast and reversibly to rat adrenal membranes.
2. Saturation analysis suggested a single class of binding sites with an equilibrium constant (K_D) = 7.4 ± 2.8 nM
3. All synthetic analogues of MTO were characterized as competitive inhibitors of specific [^{131}I]MTO binding to adrenal membranes.
4. Known inhibitors of 11 β -hydroxylase activity (metyrapone, ketoconazole) are also potent displacers of [^{131}I]MTO binding.

Figure 2

Inhibition of ^{131}I -MTO binding by etomidate derivatives

| Inhibitor | IC ₅₀ (nM) | SD | n |
|--------------------|-----------------------|------|----|
| Etomidate | 1.08 | 0.42 | 11 |
| Metomidate | 3.69 | 1.92 | 6 |
| 4-Iodo-metomidate | 9.0 | 3.7 | 15 |
| 2-Fluoro-etomidate | 2.89 | 0.55 | 4 |
| Free acid | 123 μM | 41 | 3 |

Figure 3

Distribution of radioactivity after intravenous injection
of ^{131}I -MTO in rats (means \pm SD, n=4)

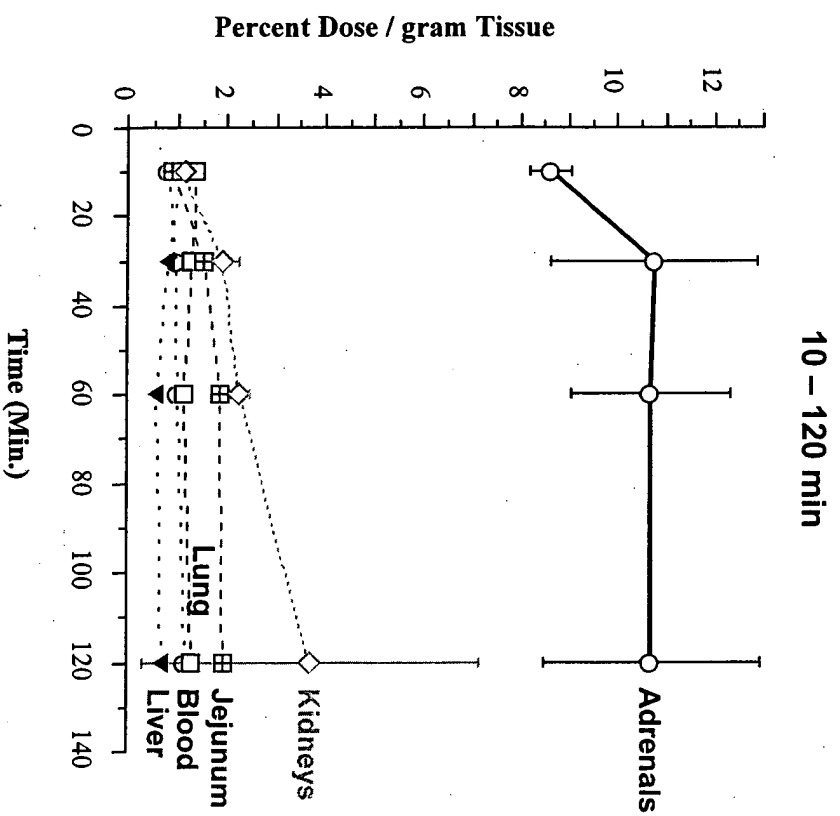


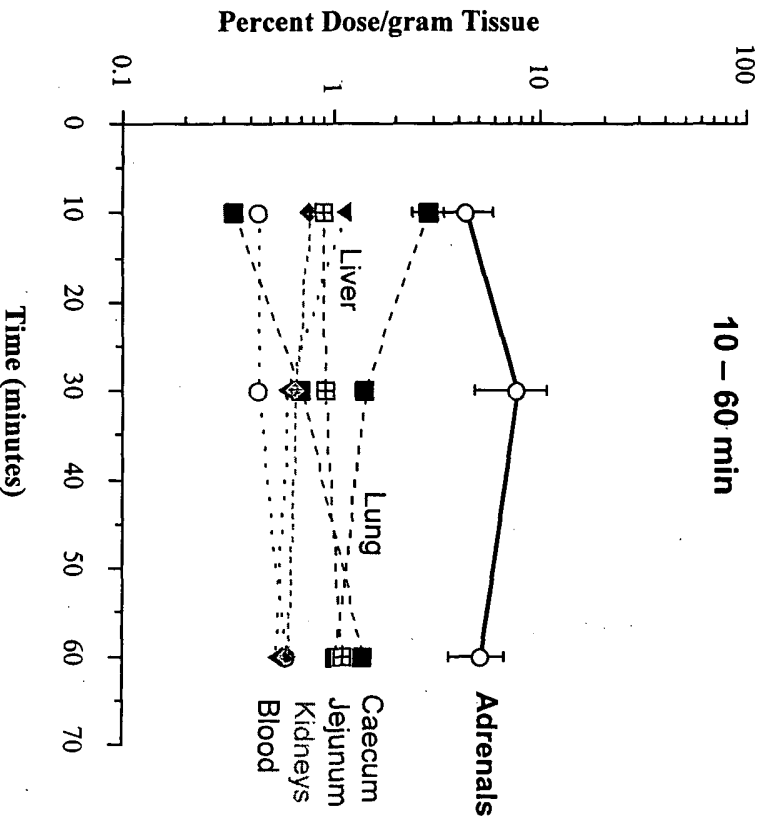
Figure 4

Target / Non-Target Ratios obtained with ^{131}I -MTO

| Organ | 10 | 30 | 60 | 120 (min) |
|-------------------------|------------|------------|------------|------------|
| Adrenal / Kidney | 7.7 | 5.7 | 4.7 | 2.9 |
| Adrenal / Liver | 8.4 | 13.9 | 19.7 | 15.5 |
| Adrenal / Jejunum | 9.8 | 7.2 | 5.7 | 5.5 |
| Adrenal / Blood | 11.3 | 11.1 | 10.7 | 9.0 |

Figure 5

Distribution of radioactivity after intravenous injection of ^{18}F -FETO in rats (means \pm SD, n = 3)



T/NT ratio at 30 min p.i.

Adrenal/Lung 5.5

Adrenal/Liver 12.8

Adrenal/Jejunum 8.4

Adrenal/Caecum 11.0